wherein **B** is H, a C_6 or C_{10} aryl, C_{7-16} aralkyl; Het or (lower alkyl)-Het, all of which optionally substituted with C_{1-6} alkyl; C_{1-6} alkoxy; C_{1-6} alkanoyl; hydroxy; hydroxyalkyl; halo; haloalkyl; nitro; cyano; cyanoalkyl; amino optionally substituted with C_{1-6} alkyl; amido; or (lower alkyl)amide;

or **B** is an acyl derivative of formula $\mathbf{R_4}$-C(O)-; a carboxyl derivative of formula $\mathbf{R_4}$-O-C(O)-; an amide derivative of formula $\mathbf{R_4}$-N($\mathbf{R_5}$)-C(O)-; a thioamide derivative of formula $\mathbf{R_4}$-N($\mathbf{R_5}$)-C(S)-;or a sulfonyl derivative of formula $\mathbf{R_4}$-SO_2 wherein

$\mathbf{R_4}$ is (i) C_{1-10} alkyl optionally substituted with carboxyl, C_{1-6} alkanoyl, hydroxy, C_{1-6} alkoxy, amino optionally mono- or di-substituted with C_{1-6} alkyl, amido, or (lower alkyl) amide;

(ii) C_{3-7} cycloalkyl, C_{3-7} cycloalkoxy, or C_{4-10} alkylcycloalkyl, all optionally substituted with hydroxy, carboxyl, (C_{1-6} alkoxy)carbonyl, amino optionally mono- or di-substituted with C_{1-6} alkyl, amido, or (lower alkyl) amide;

(iii) amino optionally mono- or di-substituted with C_{1-6} alkyl; amido; or (lower alkyl)amide;

(iv) C_6 or C_{10} aryl or C_{7-16} aralkyl, all optionally substituted with C_{1-6} alkyl, hydroxy, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with C_{1-6} alkyl; or

(v) Het or (lower alkyl)-Het, both optionally substituted with C_{1-6} alkyl, hydroxy, amido, (lower alkyl) amide, or amino optionally mono- or di-substituted with C_{1-6} alkyl;

$\mathbf{R_5}$ is H or C_{1-6} alkyl; with the proviso that when **B** is a carboxyl derivative, an amide derivative or a thioamide derivative, $\mathbf{R_4}$ is not a cycloalkoxy;

Y is H or C_{1-6} alkyl;

$\mathbf{R^3}$ is C_{1-8} alkyl, C_{3-7} cycloalkyl, or C_{4-10} alkylcycloalkyl, all optionally substituted with hydroxy, C_{1-6} alkoxy, C_{1-6} thioalkyl, amido, (lower alkyl)amido, C_6 or C_{10} aryl, or C_{7-16} aralkyl;

$\mathbf{R^2}$ is CH_2-$\mathbf{R_{20}}$, NH-$\mathbf{R_{20}}$, O-$\mathbf{R_{20}}$ or S-$\mathbf{R_{20}}$, wherein $\mathbf{R_{20}}$ is pyridinyl, quinolyl, (lower alkyl)-pyridinyl or (lower alkyl)-quinolyl, each optionally mono-, di- or tri-substituted with $\mathbf{R_{21}}$,

wherein each $\mathbf{R_{21}}$ is independently C_{1-6} alkyl; C_{1-6} alkoxy; lower thioalkyl; sulfonyl; NO_2; OH; SH; halo; haloalkyl; amino optionally mono- or di-substituted with C_{1-6} alkyl, C_6 or C_{10} aryl, C_{7-14} aralkyl, Het or (lower alkyl)-Het; amido optionally mono-substituted with C_{1-6} alkyl, C_6 or C_{10} aryl, C_{7-14} aralkyl, Het or (lower alkyl)-Het; carboxyl; carboxy(lower alkyl); C_6 or C_{10} aryl, C_{7-14} aralkyl or Het, said aryl, aralkyl

or Het being optionally substituted with R_{22};

wherein R_{22} is C_{1-6} alkyl; C_{3-7} cycloalkyl; C_{1-6} alkoxy; amino optionally mono- or di-substituted with C_{1-6} alkyl; sulfonyl; (lower alkyl)sulfonyl; NO_2; OH; SH; halo; haloalkyl; carboxyl; amide; (lower alkyl)amide; or Het optionally substituted with C_{1-6} alkyl;

R^1 is H; C_{1-6} alkyl, C_{3-7} cycloalkyl, C_{2-6} alkenyl, or C_{2-6} alkynyl, all optionally substituted with halogen;

or a pharmaceutically acceptable salt or ester thereof;

wherein "Het" is defined as a five-membered saturated or unsaturated, aromatic or non-aromatic, heterocycle containing from one to four heteroatoms selected from nitrogen, oxygen and sulfur, wherein said heterocycle is optionally fused to a benzene ring.

44/45. (three times amended) A compound of formula I according to claim 1, wherein

B is a C_6 or C_{10} aryl or C_{7-16} aralkyl, all optionally substituted with C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkanoyl, hydroxy, hydroxyalkyl, halo, haloalkyl, nitro, cyano, cyanoalkyl, amido, (lower alkyl)amido, or amino optionally substituted with C_{1-6} alkyl; or

Het or (lower alkyl)-Het, all optionally substituted with C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkanoyl, hydroxy, hydroxyalkyl, halo, haloalkyl, nitro, cyano, cyanoalkyl, amido, (lower alkyl)amido, or amino optionally substituted with C_{1-6} alkyl,. or

B is R_4-SO_2 wherein R_4 is preferably amido; (lower alkyl)amide; C_6 or C_{10} aryl, C_{7-14} aralkyl or Het, all optionally substituted with C_{1-6} alkyl, or

B is an acyl derivative of formula R_4-C(O)- wherein R_4 is

(i) C_{1-10} alkyl optionally substituted with carboxyl, hydroxy or C_{1-6} alkoxy, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with C_{1-6} alkyl;

(ii) C_{3-7} cycloalkyl or C_{4-10} alkylcycloalkyl, both optionally substituted with hydroxy, carboxyl, (C_{1-6} alkoxy)carbonyl, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with C_{1-6} alkyl;

(iv) C_6 or C_{10} aryl or C_{7-16} aralkyl, all optionally substituted with C_{1-6} alkyl, hydroxy, amido, (lower alkyl)amide, or amino optionally substituted with C_{1-6} alkyl;

(v) Het or (lower alkyl)-Het, both optionally substituted with C_{1-6} alkyl, hydroxy, amino optionally substituted with C_{1-6} alkyl, amido, (lower alkyl)amide, or amino optionally substituted with C_{1-6} alkyl, or

B is a carboxyl derivative of formula $\mathbf{R_4}$-O-C(O)-, wherein $\mathbf{R_4}$ is

(i) C_{1-10} alkyl optionally substituted with carboxyl, C_{1-6} alkanoyl, hydroxy, C_{1-6} alkoxy, amino optionally mono- or di-substituted with C_{1-6} alkyl, amido or (lower alkyl)amide;

(ii) C_{3-7} cycloalkyl, C_{4-10} alkylcycloalkyl, all optionally substituted with carboxyl, (C_{1-6} alkoxy)carbonyl, amino optionally mono- or di-substituted with C_{1-6} alkyl, amido or (lower alkyl)amide;

(iv) C_6 or C_{10} aryl or C_{7-16} aralkyl optionally substituted with C_{1-6} alkyl, hydroxy, amido, (lower alkyl)amido, or amino optionally mono- or di-substituted with C_{1-6} alkyl; or

(v) Het or (lower alkyl)-Het, both optionally substituted with C_{1-6} alkyl, hydroxy, amino optionally mono- or di-substituted with C_{1-6} alkyl, amido or (lower alkyl)amido, or

B is an amide derivative of formula $\mathbf{R_4}$-N($\mathbf{R_5}$)-C(O)- wherein $\mathbf{R_4}$ is

(i) C_{1-10} alkyl optionally substituted with carboxyl, C_{1-6} alkanoyl, hydroxy, C_{1-6} alkoxy, amido, (lower alkyl)amido, or amino optionally mono- or di-substituted with C_{1-6} alkyl;

(ii) C_{3-7} cycloalkyl or C_{4-10} alkylcycloalkyl, all optionally substituted with carboxyl, (C_{1-6} alkoxy)carbonyl, amido, (lower alkyl)amido, or amino optionally mono- or di-substituted with C_{1-6} alkyl;

(iii) amino optionally mono- or di-substituted with C_{1-3} alkyl;

(iv) C_6 or C_{10} aryl or C_{7-16} aralkyl, all optionally substituted with C_{1-6} alkyl, hydroxy, amido, (lower alkyl)amide, or amino optionally substituted with C_{1-6} alkyl; or

(v) Het or (lower alkyl)-Het, both optionally substituted with C_{1-6} alkyl, hydroxy, amino optionally substituted with C_{1-6} alkyl, amido or (lower alkyl)amide; and

$\mathbf{R_5}$ is H or methyl, or

B is thioamide derivative of formula $\mathbf{R_4}$-NH-C(S)-; wherein $\mathbf{R_4}$ is

(i) C_{1-10} alkyl optionally substituted with carboxyl, C_{1-6} alkanoyl or C_{1-6} alkoxy;

(ii) C_{3-7} cycloalkyl or C_{4-10} alkylcycloalkyl, all optionally substituted with carboxyl, (C_{1-6} alkoxy)carbonyl, amino or amido;

Y is H or methyl;

$\mathbf{R^3}$ is C_{1-8} alkyl, C_{3-7} cycloalkyl, or C_{4-10} alkylcycloalkyl, all optionally substituted with hydroxy, C_{1-6} alkoxy, C_{1-6} thioalkyl, acetamido, C_6 or C_{10} aryl, or C_{7-16} aralkyl;

R^2 is S-$\mathbf{R_{20}}$ or O-$\mathbf{R_{20}}$ wherein $\mathbf{R_{20}}$ is pyridinyl, quinolyl, -CH_2-pyridinyl or -CH_2-quinolyl, all

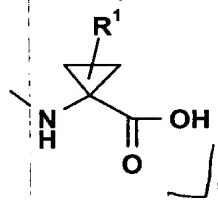
optionally mono-, di- or tri-substituted with R_{21}, wherein

R_{21} is C_{1-6} alkyl; C_{1-6} alkoxy; lower thioalkyl; amino or amido optionally mono-or di-substituted with C_{1-6} alkyl, C_6 or C_{10} aryl, C_{7-16} aralkyl, Het or (lower alkyl)-Het; NO_2; OH; halo; trifluoromethyl; carboxyl; C_6 or C_{10} aryl, C_{7-16} aralkyl, or Het, said aryl, aralkyl or Het being optionally substituted with R_{22}, wherein

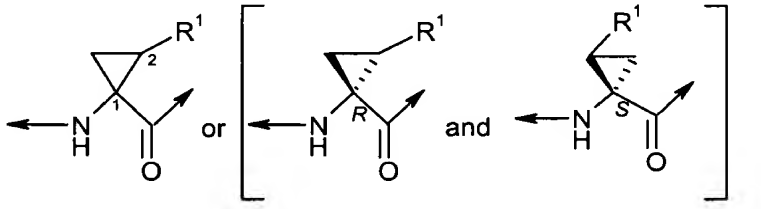
R_{22} is C_{1-6} alkyl; C_{3-7} cycloalkyl; C_{1-6} alkoxy; amino; mono- or di-(lower alkyl)amino; (lower alkyl)amide; sulfonylalkyl; NO_2; OH; halo; trifluoromethyl; carboxyl or Het;

or R^2 is quinolinoxy unsubstituted , mono- or di-substituted with R_{21} as defined above; and

P1 is:



, wherein R^1 is H, C_{1-3} alkyl, C_{3-5} cycloalkyl, or C_{2-4} alkenyl optionally substituted with halo, and said R^1 at carbon 2 is orientated *syn* to the carbonyl at position 1, represented by the radical:



;

or a pharmaceutically acceptable salt or ester thereof.

46. (three times amended) A compound of formula I according to claim ~~45~~ 44, wherein **B** is a C_6 or C_{10} aryl optionally substituted with C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkanoyl, hydroxy, hydroxyalkyl, halo, haloalkyl, nitro, cyano, cyanoalkyl, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with C_{1-6} alkyl; or **B** is Het optionally substituted with C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkanoyl, hydroxy, halo, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with C_{1-6} alkyl; or

B is R_4-SO_2 wherein R_4 is C_6 or C_{10} aryl, a C_{7-14} aralkyl or Het all optionally substituted with C_{1-6} alkyl; amido, (lower alkyl)amide; **B** is an acyl derivative of formula R_4-C(O)-

wherein R_4 is

(i) C_{1-10} alkyl optionally substituted with carboxyl, hydroxy or C_{1-6} alkoxy; or

(ii) C_{3-7} cycloalkyl or C_{4-10} alkylcycloalkyl, both optionally substituted with hydroxy, carboxyl, (C_{1-6} alkoxy)carbonyl; or

(iv) C_6 or C_{10} aryl or C_{7-16} aralkyl, all optionally substituted with C_{1-6} alkyl, hydroxy; or

(v) Het optionally substituted with C_{1-6} alkyl, hydroxy, amido or amino;

or **B** is a carboxyl derivative of formula R_4-O-C(O)-, wherein R_4 is

(i) C_{1-10} alkyl optionally substituted with carboxyl, C_{1-6} alkanoyl, hydroxy, C_{1-6} alkoxy or amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C_{1-6} alkyl;

(ii) C_{3-7} cycloalkyl, C_{4-10} alkylcycloalkyl, all optionally substituted with carboxyl, (C_{1-6} alkoxy)carbonyl, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C_{1-6} alkyl; or

(iv) C_6 or C_{10} aryl or C_{7-16} aralkyl, all optionally substituted with C_{1-6} alkyl, hydroxy, amino optionally substituted with C_{1-6} alkyl; or

(v) Het or (lower alkyl)-Het, both optionally substituted with C_{1-6} alkyl, hydroxy, amido, or amino optionally mono-substituted with C_{1-6} alkyl;

or **B** is an amide derivative of formula R_4-N(R_5)-C(O)- wherein R_4 is

(i) C_{1-10} alkyl optionally substituted with carboxyl, C_{1-6} alkanoyl, hydroxy, C_{1-6} alkoxy, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C_{1-6} alkyl;

(ii) C_{3-7} cycloalkyl or C_{4-10} alkylcycloalkyl, all optionally substituted with carboxyl, (C_{1-6} alkoxy)carbonyl, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C_{1-6} alkyl; and R_5 is H or methyl; or

R_4 is (iii) amino optionally mono- or di-substituted with C_{1-3} alkyl; or

(iv) C_6 or C_{10} aryl or C_{7-16} aralkyl, all optionally substituted with C_{1-6} alkyl, hydroxy, amino or amido optionally substituted with C_{1-6} alkyl; or

(v) Het optionally substituted with C_{1-6} alkyl, hydroxy, amino or amido; or

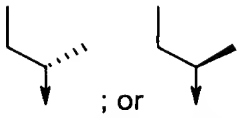
B is a thioamide derivative of formula R_4-NH-C(S)-; wherein R_4 is:

(i) C_{1-10} alkyl; or (ii) C_{3-7} cycloalkyl; or

Y is H;

R^3 is the side chain of Tbg, Ile, Val, Chg or:

T1700

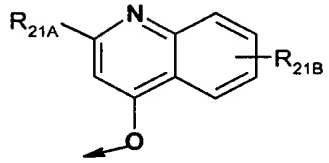


; or ;

R^2 is quinolinoxy unsubstituted, mono- or di-substituted with $\mathbf{R_{21}}$ as defined above, or

R^2 is :

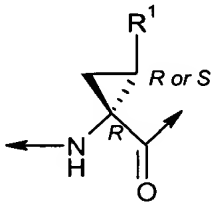
T1701



wherein $\mathbf{R_{21A}}$ is $C_{1\text{-}6}$ alkyl; $C_{1\text{-}6}$ alkoxy; C_6, C_{10} aryl or Het; lower thioalkyl; halo; amino optionally mono-substituted with $C_{1\text{-}6}$ alkyl; or C_6,C_{10} aryl, $C_{7\text{-}16}$ aralkyl or Het, optionally substituted with $\mathbf{R_{22}}$ wherein $\mathbf{R_{22}}$ is $C_{1\text{-}6}$ alkyl, $C_{1\text{-}6}$ alkoxy, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with $C_{1\text{-}6}$ alkyl, or Het; and $\mathbf{R_{21B}}$ is $C_{1\text{-}6}$ alkyl, $C_{1\text{-}6}$ alkoxy, amino, di(lower alkyl)amino, (lower alkyl)amide, NO_2, OH, halo, trifluoromethyl, or carboxyl;

P1 is:

T1702

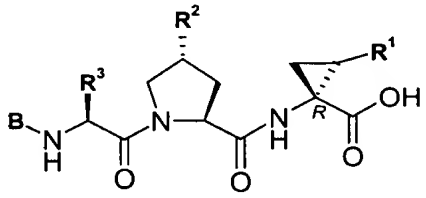


; and

$\mathbf{R^1}$ is ethyl, vinyl, cyclopropyl, 1 or 2-bromoethyl or 1 or 2-bromovinyl.

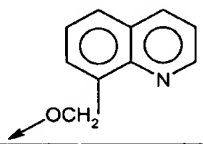
52. (twice amended) A compound according to claim 45 represented by the formula:

T1703



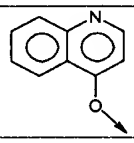
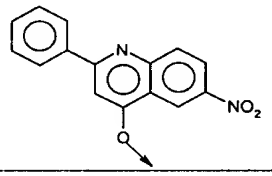
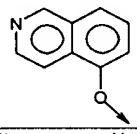
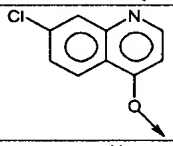
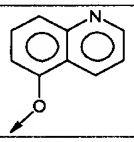
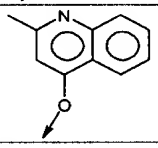
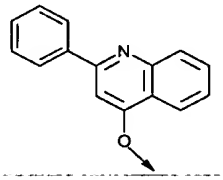
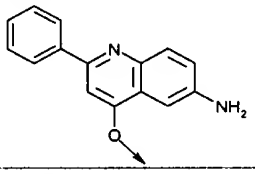
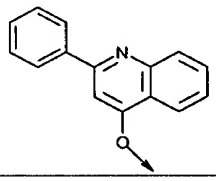
wherein **B**, $\mathbf{R^3}$, $\mathbf{R^2}$, $\mathbf{R^1}$ are as defined below:

T1704

Table 3 Cpd #	B	R^3	R^2	R^1 *syn* to carboxyl
304	Boc	cHex		ethyl

;

170 C

Table 3 Cpd #	B	R^3	R^2	R^1 *syn* to carboxyl	
306	Boc	cHex		vinyl	;
307	Boc	cHex		vinyl	;
310	Boc	cHex		vinyl	;
311	Boc	cHex		vinyl	;
312	Boc	cHex		vinyl	;
313	Boc	cHex		vinyl	;
314	Boc	cHex		vinyl	;
315	Boc	cHex		vinyl	;
316	Acetyl	cHex		vinyl	;

171 C

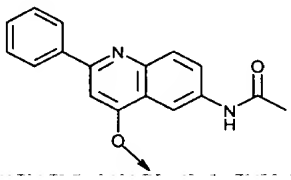
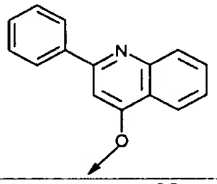
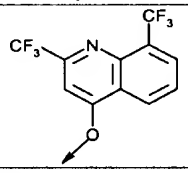
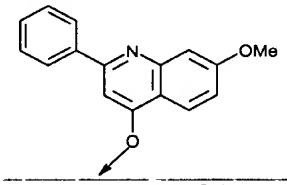
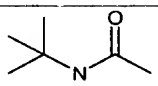
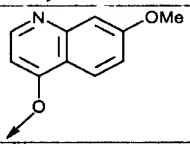
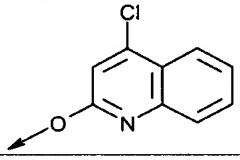
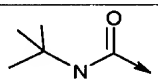
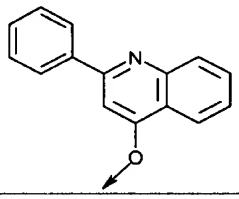
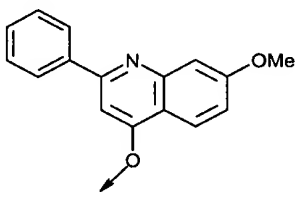
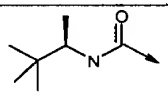
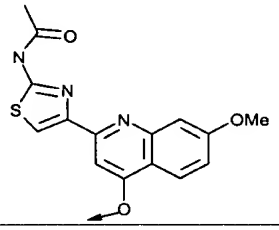
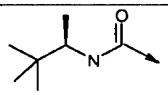
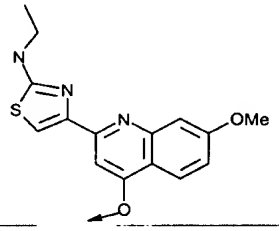
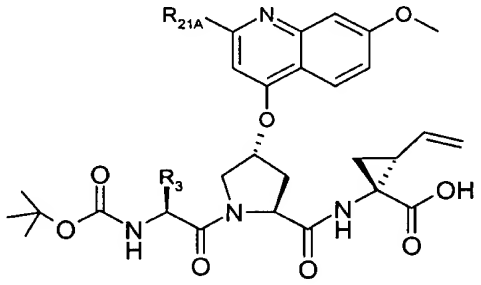
Table 3 Cpd #	B	R^3	R^2	R^1 *syn* to carboxyl	
317	Boc	cHex		vinyl	;
318	CF_3-C(O)-	*i-Pr*		vinyl	;
322	Boc	*t*-Bu		vinyl	;
325	Boc	*t*-Bu			;
327		*t*-Bu		vinyl	;
328	Boc	*t*-Bu		vinyl	;
331		*t*-Bu		vinyl	;
332	Boc	*t*-Bu		ethyl	;

Table 3 Cpd #	B	R^3	R^2	R^1 *syn* to carboxyl
333		*t*-Bu		vinyl ;
and 334		*t*-Bu		vinyl .

53. (twice amended) A compound according to claim 52, selected from the group consisting of compound #: **307,314, 317, 325, 327, 331, 332, 333,** and **334.**

60. (twice amended) A compound according to claim 46 represented by the formula:



wherein R_3 and R_{21A} are as defined below:

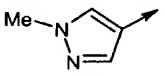
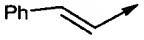
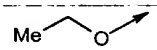
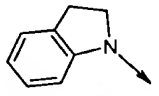
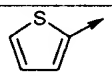
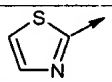
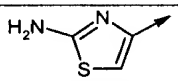
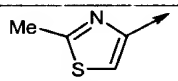
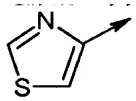
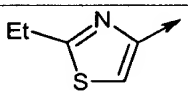
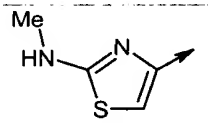
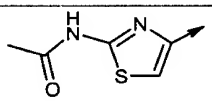
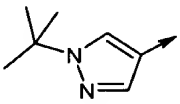
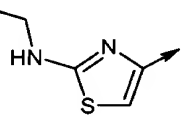
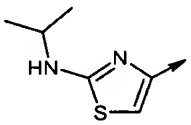
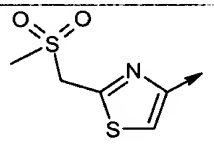
Table 7 Cpd #	R_3	R_{21A}
701	*t*-Bu	 ;
702	*t*-Bu	 ;
703	*t*-Bu	 ;
704	*t*-Bu	 ;

Table 7 Cpd #	R_3	R_{21A}	
706	*t*-Bu		;
707	*t*-Bu		;
708	*t*-Bu	Ph-N(Me)-	;
709	*t*-Bu		;
710	*t*-Bu	HOOC-	;
711	*t*-Bu		;
712	*t*-Bu	$(Me)_2N$-	;
713	*t*-Bu		;
714	*t*-Bu		;
717	*t*-Bu		;
718	*t*-Bu	NH_2	;
719	*t*-Bu		;
720	*t*-Bu		;
722	*t*-Bu		;
723	*t*-Bu		;
726	*t*-Bu	*i*-Pr	;
728	*t*-Bu		;

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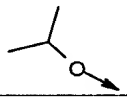
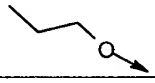
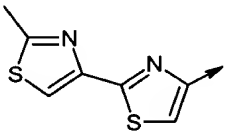
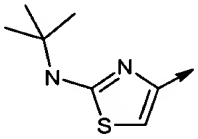
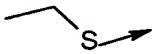
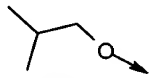
C

Table 7 Cpd #	R_3	R_{21A}	
730	*t*-Bu		;
731	*t*-Bu		;
732	*t*-Bu		;
733	*t*-Bu		;
734	*t-Bu*		;
735	*t*-Bu		;
736	*t*-Bu	*t*-Bu	;
and 737	*t*-Bu	CHex	.

61. (twice amended) A compound according to claim 60, selected from the group consisting of compound #: **701, 702, 703, 704, 706, 707, 708, 709, 711 to 714, 717 to 720, 722, 723, 726, 728, and 730 to 737.**

Please Cancel Claims 76-79 without prejudice.

SPECIFICATION AMENDMENTS SHOWING THE CHANGES MADE

At page 4, lines 19-21, delete the paragraph and replace with the following:

-- or **B** is an acyl derivative of formula R_4-C(O)-; a carboxyl derivative of formula R_4-O-C(O)-; an amide derivative of formula R_4-N(R_5)-C(O)-; a thioamide derivative of formula R_4-N(R_5)-C(S)-; or a sulfonyl derivative of formula R_4-SO_2 wherein --